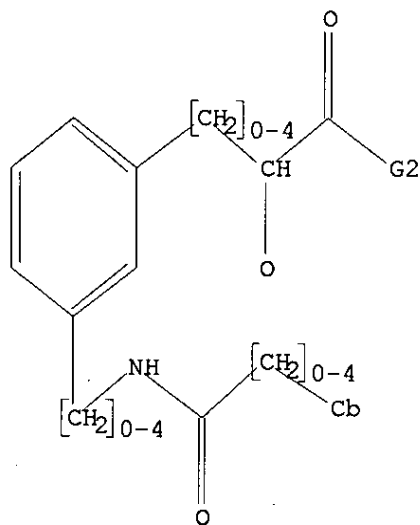


10/088,916



G1 C, O, S, N

G2 O, N

G3 O, S

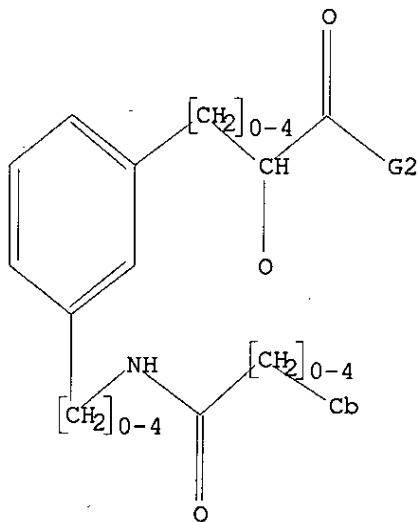
G4 H, O, Me, Et

Structure attributes must be viewed using STN Express query preparation.

=> d 12

L2 HAS NO ANSWERS

L2 STR



G1 C, O, S, N

G2 O, N

G3 O, S

G4 H, O, Me, Et

10/088,916

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 11:18:04 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 61693 TO ITERATE

100.0% PROCESSED 61693 ITERATIONS 166 ANSWERS  
SEARCH TIME: 00.00.03

L3 166 SEA SSS FUL L1

=> s l2 sss full

FULL SEARCH INITIATED 11:18:13 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 61693 TO ITERATE

100.0% PROCESSED 61693 ITERATIONS 166 ANSWERS  
SEARCH TIME: 00.00.02

L4 166 SEA SSS FUL L2

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	310.84	311.05

FILE 'CAPLUS' ENTERED AT 11:18:28 ON 19 AUG 2004  
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FILE COVERS 1907 - 19 Aug 2004 VOL 141 ISS 8  
FILE LAST UPDATED: 18 Aug 2004 (20040818/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3 or l4

5 L3

5 L4

L5 5 L3 OR L4

=> d l5 1-5 ibib abs hitstr

L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2004:467862 CAPLUS  
DOCUMENT NUMBER: 141:38441

10/088,916

TITLE: Preparation of N-(carbamimidoylbenzyl)benzeneacetamide  
s and pyridineacetamides as inhibitors of the  
formation of coagulation factors Xa, IXa, and thrombin  
induced by factor VIIa and tissue factor

INVENTOR(S): Banner, David William; Gobbi, Luca Claudio; Groebke,  
Zbinden Katrin; Obst, Ulrike; Stahl, Christoph Martin

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 183 pp.  
CODEN: PIXXD2

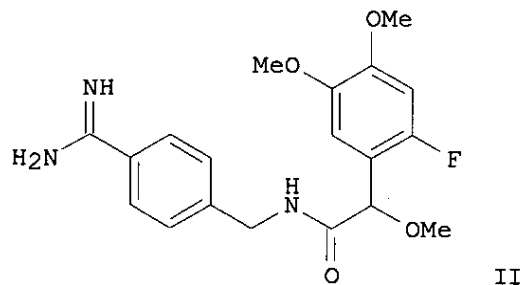
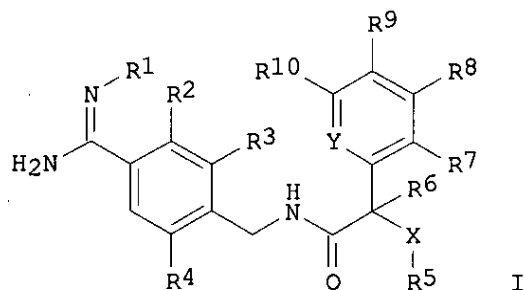
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

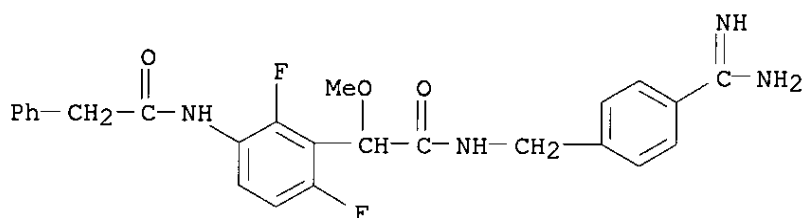
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048335	A2	20040610	WO 2003-EP13087	20031121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004122057	A1	20040624	US 2003-720790	20031121
PRIORITY APPLN. INFO.:			EP 2002-26365	A 20021125
OTHER SOURCE(S):	MARPAT 141:38441			
GI				



AB Title compds. I [wherein X = O, S, NR12, SO2; Y = N, CR11; R1 = H, OH,

NH<sub>2</sub>, or (un)substituted (aryl)alkoxycarbonyl, aryloxycarbamoyl, alkanoyl, arylcarbonyl; R<sub>2</sub>-R<sub>4</sub> = independently H, halo, OH, carboxyalkylamino, carbamoylalkylamino, hydroxycycloalkyloxy, (hetero)aryl(oxy), (hetero)aryl(alkyl)amino, etc.; R<sub>5</sub> = (cyclo)alkyl; or if X = O or NR<sub>12</sub>, R<sub>5</sub> may be H; R<sub>6</sub> = H, (fluoro)alkyl; R<sub>7</sub>-R<sub>11</sub> = independently H, OH, halo, NO<sub>2</sub>, CHO, or (un)substituted amino, fluoroalkyl, alkoxy, (hetero)aryl(oxy), heterocyclylalkyl, carbamoyl, cycloalkyl(alkoxy), etc.; or R<sub>8</sub> and R<sub>9</sub> or R<sub>8</sub> and R<sub>7</sub> are bound to each other to form a ring together with the C's to which they are attached; R<sub>12</sub> = H, alkyl(carbonyl); and pharmaceutically acceptable salts thereof] were prepared as inhibitors of the formation of coagulation factors Xa, IXa, and thrombin induced by factor VIIa and tissue factor. For example, 6-fluoroveratraldehyde was converted to (2-fluoro-4,5-dimethoxyphenyl)methoxyacetic acid, which was coupled with 4-aminomethylbenzonitrile to give N-(4-cyanobenzyl)-2-(2-fluoro-4,5-dimethoxyphenyl)-2-methoxyacetamide. Reaction of the nitrile with dry HCl gas in CHCl<sub>3</sub>/EtOH afforded the amidine II•HCl. The latter suppressed the amidolytic activity of the factor VIIa/tissue factor complex with K<sub>i</sub> of 2.21 μM. Thus, I and their pharmaceutical compns. are useful for the treatment and/or prophylaxis of arterial and venous thrombosis, deep vein thrombosis, pulmonary embolism, unstable angina pectoris, cardiac infarction, stroke due to atrial fibrillation, inflammation, arteriosclerosis, and/or tumors (no data).

- IT **701269-34-3P**, N-(4-Carbamimidoylbenzyl)-2-[2,6-difluoro-3-[(phenylacetyl)amino]phenyl]-2-methoxyacetamide hydrochloride  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (anticoagulant; preparation of N-(carbamimidoylbenzyl)benzeneacetamides and pyridineacetamides as coagulation factor inhibitors)
- RN **701269-34-3** CAPLUS
- CN Benzeneacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-2,6-difluoro-α-methoxy-3-[(phenylacetyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



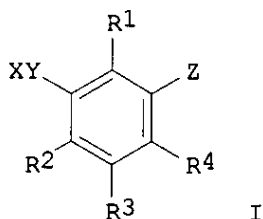
● HCl

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002:793586 CAPLUS  
 DOCUMENT NUMBER: 137:310909  
 TITLE: Preparation of aminomethylphenylalkanoic acid derivatives as remedies for diabetes, digestive tract diseases, etc.  
 INVENTOR(S): Matsuura, Fumiyoshi; Emori, Eita; Shinoda, Masanobu; Clark, Richard; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Inoue, Takashi; Miyashita, Sadakazu; Hihara, Taro

10/088,916

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 100 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002081428	A1	20021017	WO 2002-JP3002	20020327
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1375472	A1	20040102	EP 2002-707187	20020327
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2004138271	A1	20040715	US 2003-471254	20030910
PRIORITY APPLN. INFO.:			JP 2001-100678	A 20010330
			WO 2002-JP3002	W 20020327
OTHER SOURCE(S):	MARPAT 137:310909			
GI				



AB The title compds. I [X represents optionally substituted aryl or heteroaryl; Y represents a group represented by the general formula CONR11CR22R33 (wherein R11, R22, and R33 each represents hydrogen, etc.), etc.; Z represents a group represented by the general formula CR111R222(CR333R444)m (wherein m is 0 to 2 and R111, R222, R333, and R444 each represents hydrogen, etc.); and R1, R2, R3, and R4 each represents hydrogen, etc.] are prepared The in vitro bioactivity of compds. of this invention vs. PPAR  $\alpha$ , PPAR  $\beta$ , and PPAR  $\gamma$  was demonstrated.

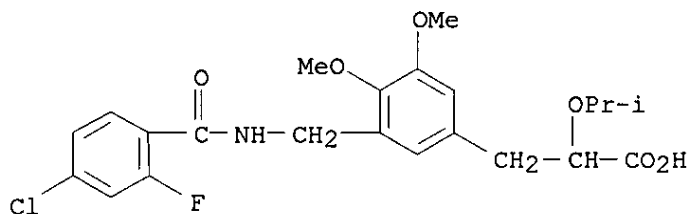
IT **470668-77-0P**  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and bioeffect of aminomethylphenylalkanoic acid derivs.)

RN 470668-77-0 CAPLUS

CN Benzenepropanoic acid, 3-[[ (4-chloro-2-fluorobenzoyl) amino]methyl]-4,5-

10/088,916

dimethoxy- $\alpha$ -(1-methylethoxy)- (9CI) (CA INDEX NAME)



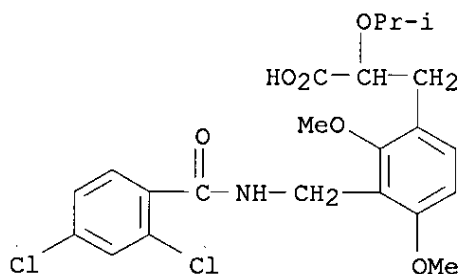
IT 334011-61-9P 334011-62-0P 334011-63-1P  
334011-64-2P 334011-65-3P 334011-75-5P  
334011-76-6P 470668-01-0P 470668-05-4P  
470668-06-5P 470668-07-6P 470668-08-7P  
470668-09-8P 470668-12-3P 470668-13-4P  
470668-14-5P 470668-17-8P 470668-18-9P  
470668-19-0P 470668-22-5P 470668-23-6P  
470668-24-7P 470668-27-0P 470668-28-1P  
470668-29-2P 470668-31-6P 470668-32-7P  
470668-33-8P 470668-34-9P 470668-35-0P  
470668-37-2P 470668-38-3P 470668-39-4P  
470668-40-7P 470668-41-8P 470668-51-0P  
470668-52-1P 470668-53-2P 470668-54-3P  
470668-55-4P 470669-79-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminomethylphenylalkanoic acid derivs. as remedies for diabetes and digestive tract diseases)

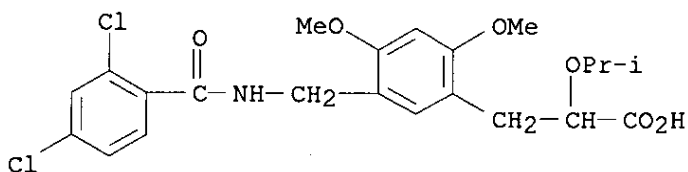
RN 334011-61-9 CAPLUS

CN Benzenepropanoic acid, 3-[[[(2,4-dichlorobenzoyl)amino]methyl]-2,4-dimethoxy- $\alpha$ -(1-methylethoxy)- (9CI) (CA INDEX NAME)



RN 334011-62-0 CAPLUS

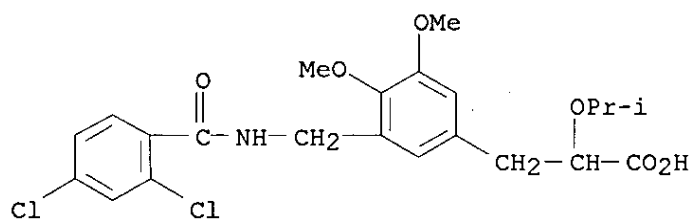
CN Benzenepropanoic acid, 5-[[[(2,4-dichlorobenzoyl)amino]methyl]-2,4-dimethoxy- $\alpha$ -(1-methylethoxy)- (9CI) (CA INDEX NAME)



10/088,916

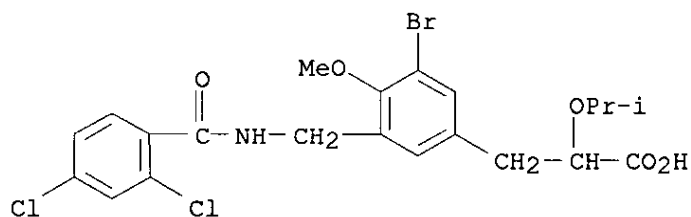
RN 334011-63-1 CAPLUS

CN Benzenepropanoic acid, 3-[[ (2,4-dichlorobenzoyl)amino]methyl]-4,5-dimethoxy- $\alpha$ -(1-methylethoxy)- (9CI) (CA INDEX NAME)



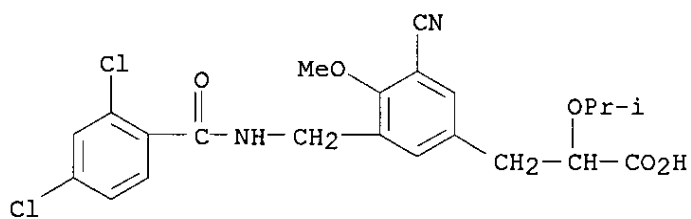
RN 334011-64-2 CAPLUS

CN Benzenepropanoic acid, 3-bromo-5-[[ (2,4-dichlorobenzoyl)amino]methyl]-4-methoxy- $\alpha$ -(1-methylethoxy)- (9CI) (CA INDEX NAME)



RN 334011-65-3 CAPLUS

CN Benzenepropanoic acid, 3-cyano-5-[[ (2,4-dichlorobenzoyl)amino]methyl]-4-methoxy- $\alpha$ -(1-methylethoxy)- (9CI) (CA INDEX NAME)

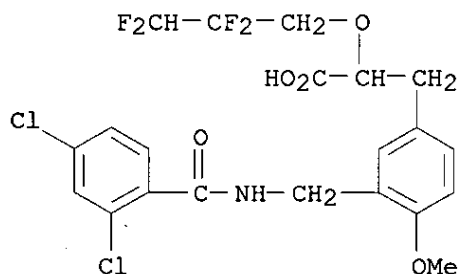


RN 334011-75-5 CAPLUS

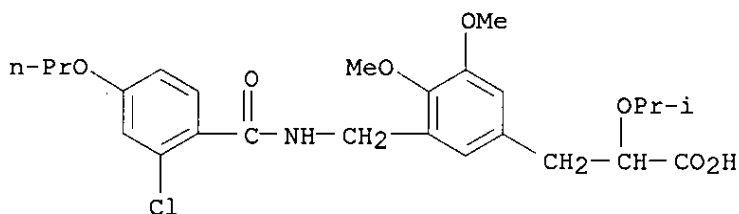
CN 5-Benzofuranpropanoic acid, 7-[[ (2,4-dichlorobenzoyl)amino]methyl]- $\alpha$ -(1-methylethoxy)- (9CI) (CA INDEX NAME)

10/088,916

RN 470668-55-4 CAPLUS  
CN Benzenepropanoic acid, 3-[[ (2,4-dichlorobenzoyl) amino]methyl]-4-methoxy-  
 $\alpha$ -(2,2,3,3-tetrafluoropropoxy)- (9CI) (CA INDEX NAME)



RN 470669-79-5 CAPLUS  
CN Benzenepropanoic acid, 3-[[ (2-chloro-4-propoxybenzoyl) amino]methyl]-4,5-dimethoxy- $\alpha$ -(1-methylethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:793403 CAPLUS

DOCUMENT NUMBER: 137:310931

TITLE: Preparation of phenylalkanoic acid derivatives as preventive or remedial agents for digestive tract diseases

INVENTOR(S): Horizoe, Tatsuo; Shinoda, Masanobu; Emori, Eita; Matsuura, Fumiyoshi; Kaneko, Toshihiko; Ohi, Norihito; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Miyashita, Sadakazu; Hihara, Taro; Seiki, Takashi; Clark, Richard; Harada, Hitoshi

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 344 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002080899	A1	20021017	WO 2002-JP3006	20020327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				



10/088,916

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

JP 2001-101465

A 20010330

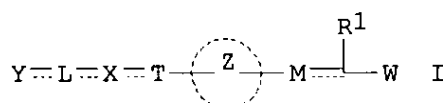
JP 2001-105131

A 20010403

OTHER SOURCE(S):

MARPAT 137:310931

GI



AB Disclosed is a preventive/remedy for digestive tract or inflammatory diseases, which contains as the active ingredient a novel carboxylic acid derivative represented by the following formula [I; R<sub>1</sub> = H, OH, each (un)substituted C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 hydroxyalkyl, C1-6 hydroxyalkoxy, C1-6 hydroxyalkylthio, C1-6 aminoalkyl, C1-6 aminoalkoxy, C1-6 aminoalkylthio, C2-12 alkoxyalkyl, C3-7 cycloalkyl, C3-7 cycloalkyloxy, C3-7 cycloalkylthio, C2-6 alkenyl, C2-6 alkenyloxy, or C2-6 alkenylthio, etc.; L = a single or double bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; M = a single bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; T = a single bond, each (un)substituted C1-3 alkylene, C2-3 alkenylene, or C2-3 alkynylene; W = 2,4-dioxothiazolidin-5-yl, 2,4-dioxothiazolidin-5-ylidene, carboxy, (un)substituted CONH<sub>2</sub>; X = O, (un)substituted C2-6 alkenylene, hydroxymethylene, CO, CS, N-(un)substituted CQN<sub>H</sub>, NHCQ, SO<sub>2</sub>NH, NHSO<sub>2</sub>, or NHCQN<sub>H</sub> (Q = O, S); Y = (un)substituted C5-12 aromatic hydrocarbyl or C3-7 aliphatic hydrocarbyl optionally containing ≥1 heteroatoms; ring Z = C5-6 aromatic hydrocarbyl; Y = (un)substituted aromatic hydrocarbon group optionally containing ≥1 heteroatoms; some provisos given], a salt of the derivative, or a hydrate of either. The above digestive tract diseases include (1) inflammatory digestive tract diseases such as ulcerous colitis, Crohn's disease, pancreatitis, and gastritis, (2) digestive tract proliferative diseases such as digestive tract benign tumors, digestive tract polyp, hereditary (genetic) polyposis syndromes, colon cancer, rectum cancer, and stomach cancer, and (3) digestive tract ulcerous diseases such as duodenal ulcer, stomach ulcer, esophagus ulcer, regurgitant esophagitis, stress ulcer or erosion, erosion caused by drugs, and Zollinger-Ellison syndromes. The above inflammatory diseases include arthritic rheumatism, multiple sclerosis, immunodeficiency, cachexia, osteoarthritis, osteoporosis, asthma, and allergy. The compds. I are triple agonists for PPAR (peroxisome proliferator-activated receptor) α, β, and γ subtype. Thus, 2-isopropoxy-3-[[4-methoxy-3-[[[4-(trifluoromethyl)benzyl]amino]carbonyl]phenyl]propanoic acid in vitro showed the transcription activity for PPARα, β, and γ with EC<sub>50</sub> of 0.08, 2.513, and 0.382 μM, resp., in CV-1 cell. (2S)-3-[3-[[[2,4-dichlorobenzoyl]amino]methyl]-4-methoxyphenyl]-2-isopropoxypropanoic acid at 1 mg/kg/day p.o. for 3 days showed a disease activity index based on diarrhea, bloody excrement, and weight loss (DAI) of 2.0±0.3 in mice suffering from colitis induced by dextran sulfate sodium salt vs. 2.8±0.2 for the control group and 2.1±0.3 for the mice treated with rosiglitazone at 30 mg/kg/day. Many compds. prepared do

10/088,916

not possess the thiazolidine skeleton and thereby may completely avoid toxicity such as liver disorder which was noted in the past as a problem for compds. having PPAR $\gamma$  agonist activity.

IT 334015-11-1P 334015-43-9P 334015-90-6P

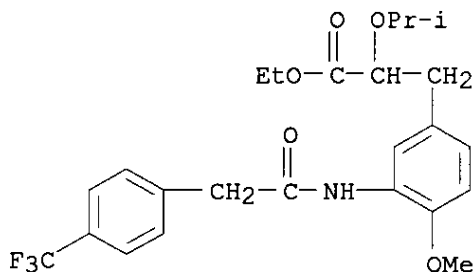
334015-94-0P 472788-58-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of phenylalkanoic acid derivs. as peroxisome proliferator-activated receptor agonists and remedial or preventive agents for digestive tract or inflammatory diseases)

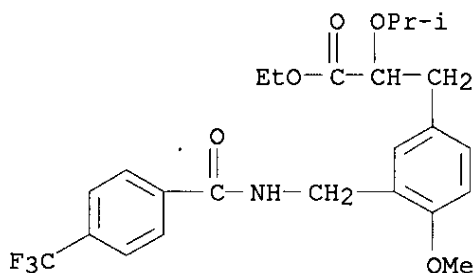
RN 334015-11-1 CAPLUS

CN Benzenepropanoic acid, 4-methoxy- $\alpha$ -(1-methylethoxy)-3-[[[4-(trifluoromethyl)phenyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 334015-43-9 CAPLUS

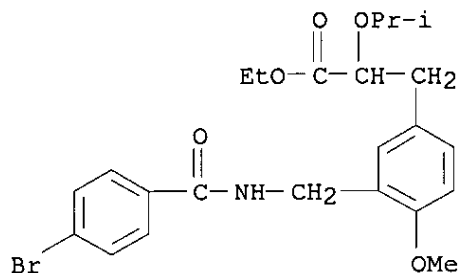
CN Benzenepropanoic acid, 4-methoxy- $\alpha$ -(1-methylethoxy)-3-[[[4-(trifluoromethyl)benzoyl]amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 334015-90-6 CAPLUS

CN Benzenepropanoic acid, 3-[[[(2,4-dichlorobenzoyl)amino]methyl]-4-methoxy- $\alpha$ -(1-methylethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

10/088,916



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:886030 CAPLUS

DOCUMENT NUMBER: 136:19941

TITLE: Preparation of phenylpropionic acid derivatives as PPAR $\alpha$  activators effective as antiarteriosclerotics

INVENTOR(S): Miyachi, Hiroyuki; Nomura, Masahiro; Takahashi, Yukie; Tanase, Takahiro; Murakami, Kouji; Suzuki, Masahiro

PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

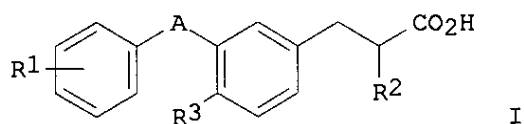
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092201	A1	20011206	WO 2001-JP4385	20010525
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001058838	A5	20011211	AU 2001-58838	20010525
EP 1285908	A1	20030226	EP 2001-932262	20010525
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2003187068	A1	20031002	US 2002-296206	20021129
PRIORITY APPLN. INFO.:			JP 2000-158424	A 20000529
			WO 2001-JP4385	W 20010525
OTHER SOURCE(S):	MARPAT 136:19941			
GI				

10/088,916

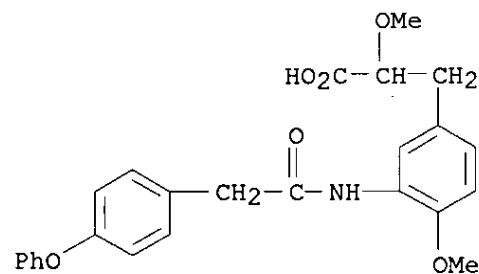


AB Title compds. [I; R1 = alkyl, alkoxy, trifluoromethyl, trifluoromethoxy, Ph, phenoxy, benzyloxy; R2 = H, alkyl, alkoxy; R3 = alkoxy; A = CH<sub>2</sub>CONH, NHCOCH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CO, CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>O, CONHCH<sub>2</sub>, CH<sub>2</sub>NHCH<sub>2</sub>, COCH<sub>2</sub>O, OCH<sub>2</sub>CO, COCH<sub>2</sub>NH, NHCH<sub>2</sub>CO], stereoisomers, and pharmaceutically acceptable salts, which bind to human peroxisome proliferator activated receptor  $\alpha$  (PPAR $\alpha$ ) as ligand to activate the receptor and thereby exhibit a potent lipid-decreasing effect, are prepared as antiarteriosclerotics. Thus, the title compound II was prepared and biol. tested for transcription activation effect with EC<sub>50</sub>( $\mu$ mol/L) = 0.05.

IT **378231-91-5P**  
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(Preparation of phenylpropionic acid derivs. as PPAR $\alpha$  activators effective as antiarteriosclerotics)

RN 378231-91-5 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ ,4-dimethoxy-3-[[4-phenoxyphenyl]acetyl]amino]- (9CI) (CA INDEX NAME)

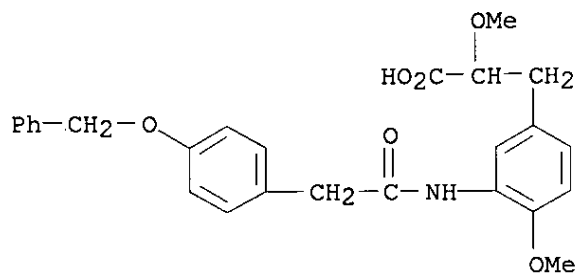


IT **378231-90-4P 378231-92-6P**  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(Preparation of phenylpropionic acid derivs. as PPAR $\alpha$  activators effective as antiarteriosclerotics)

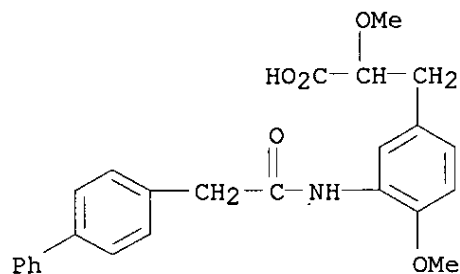
RN 378231-90-4 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ ,4-dimethoxy-3-[[[4-(phenylmethoxy)phenyl]acetyl]amino]- (9CI) (CA INDEX NAME)

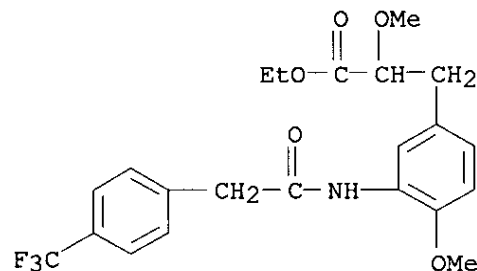
10/088,916



RN 378231-92-6 CAPLUS  
CN Benzenepropanoic acid, 3-[[[1,1'-biphenyl]-4-ylacetyl]amino]-α,4-dimethoxy- (9CI) (CA INDEX NAME)

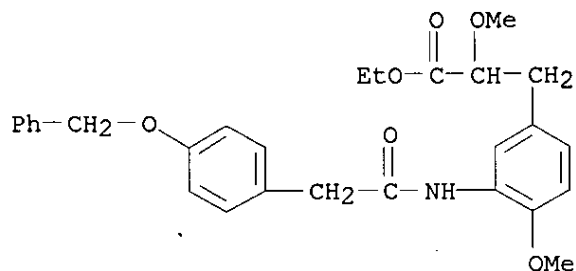


IT 378231-27-7P 378231-57-3P 378231-58-4P  
378231-59-5P 378231-60-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(Preparation of phenylpropionic acid derivs. as PPARα activators  
effective as antiarteriosclerotics)  
RN 378231-27-7 CAPLUS  
CN Benzenepropanoic acid, α,4-dimethoxy-3-[[[4-(trifluoromethyl)phenyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



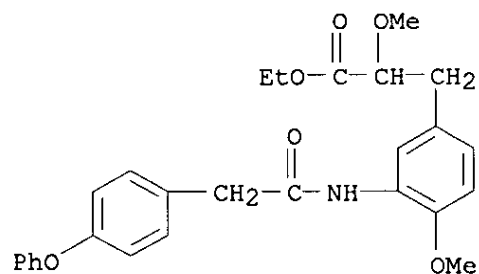
RN 378231-57-3 CAPLUS  
CN Benzenepropanoic acid, α,4-dimethoxy-3-[[[4-(phenylmethoxy)phenyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

10/088,916



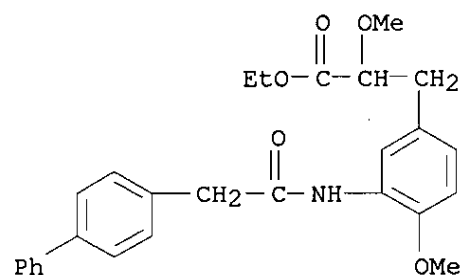
RN 378231-58-4 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ ,4-dimethoxy-3-[[4-phenoxyphenyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 378231-59-5 CAPLUS

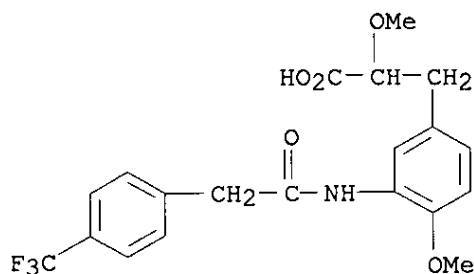
CN Benzenepropanoic acid, 3-[[[1,1'-biphenyl]-4-yl]acetyl]amino]- $\alpha$ ,4-dimethoxy-, ethyl ester (9CI) (CA INDEX NAME)



RN 378231-60-8 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ ,4-dimethoxy-3-[[[4-(trifluoromethyl)phenyl]acetyl]amino]- (9CI) (CA INDEX NAME)

10/088,916



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:265369 CAPLUS

DOCUMENT NUMBER: 134:295620

TITLE: Preparation and effect of 4-methoxyphenylpropionic acid derivatives useful in insulin resistance improvement

INVENTOR(S): Shinoda, Masanobu; Emori, Eita; Matsuura, Fumiyoshi; Kaneko, Toshihiko; Ohi, Norihito; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Miyashita, Sadakazu; Hibara, Taro; Seiki, Hisashi; Clark, Richard; Harada, Hitoshi

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 350 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

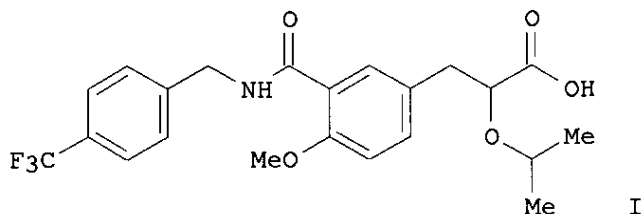
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001025181	A1	20010412	WO 2000-JP6788	20000929
W: AU, BR, CA, CN, HU, IL, JP, KR, MX, NO, NZ, RU, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 2000074499	A5	20010510	AU 2000-74499	20000929
EP 1216980	A1	20020626	EP 2000-962993	20000929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
PRIORITY APPLN. INFO.:			JP 1999-282079	A 19991001
			JP 1999-369442	A 19991227
			JP 2000-38795	A 20000216
			JP 2000-104260	A 20000406
			WO 2000-JP6788	W 20000929

OTHER SOURCE(S): MARPAT 134:295620

GI

*Applicants*

10/088,916



AB Title compds. [Y:L:X:TZM:CWR1; R1 is hydrogen, hydroxyl, alkyl; L is single bond, double bond, alkylene; M is single bond, alkylene; T is single bond, alkylene; W is carboxyl, amide; X is oxygen, alkenylene; Y is aromatic hydrocarbon; Z is aromatic hydrocarbon; colon represents single, or double bond], salts, esters, and hydrates are prepared and are useful in prevention or treatment of diabetes and X-syndrome. Thus, the title compound I was prepared and biol. tested.

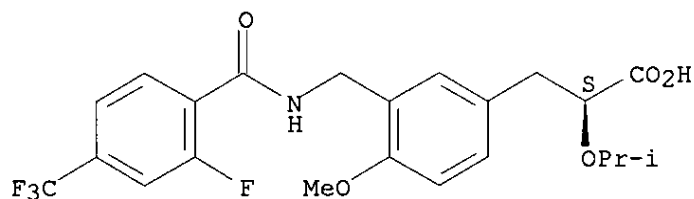
IT **334010-93-4P 334010-94-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and effect of methoxyphenylpropionic acid derivs. useful in insulin resistance improvement as PPAR agonists)

RN 334010-93-4 CAPLUS

CN Benzenepropanoic acid, 3-[[[2-fluoro-4-(trifluoromethyl)benzoyl]amino]methyl]-4-methoxy- $\alpha$ -(1-methylethoxy)-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

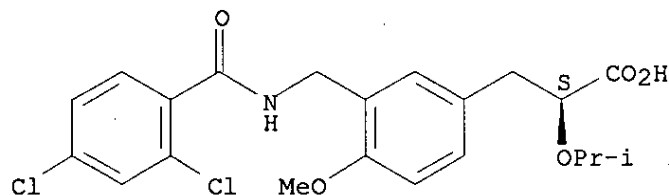
Absolute stereochemistry.



RN 334010-94-5 CAPLUS

CN Benzenepropanoic acid, 3-[[[(2,4-dichlorobenzoyl)amino]methyl]-4-methoxy- $\alpha$ -(1-methylethoxy)-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **334015-11-1P 334015-43-9P 334015-90-6P**

**334015-92-8P 334015-94-0P 334015-96-2P**

**334018-48-3P 334019-09-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

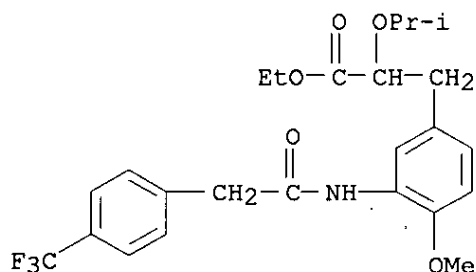


10/088,916

(preparation and effect of methoxyphenylpropionic acid derivs. useful in insulin resistance improvement as PPAR agonists)

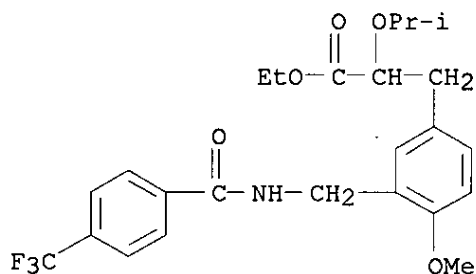
RN 334015-11-1 CAPLUS

CN Benzenepropanoic acid, 4-methoxy- $\alpha$ -(1-methylethoxy)-3-[[[4-(trifluoromethyl)phenyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



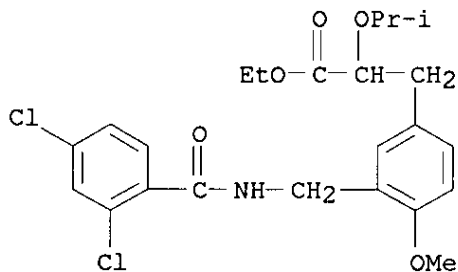
RN 334015-43-9 CAPLUS

CN Benzenepropanoic acid, 4-methoxy- $\alpha$ -(1-methylethoxy)-3-[[[4-(trifluoromethyl)benzoyl]amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 334015-90-6 CAPLUS

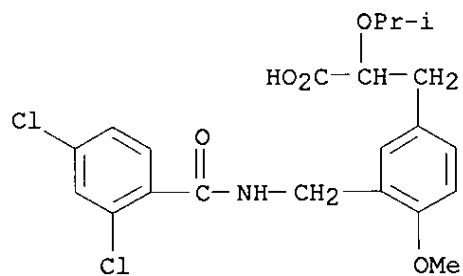
CN Benzenepropanoic acid, 3-[[[2,4-dichlorobenzoyl]amino]methyl]-4-methoxy- $\alpha$ -(1-methylethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 334015-92-8 CAPLUS

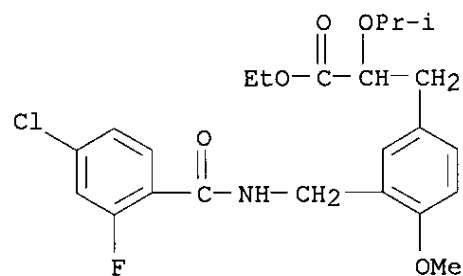
CN Benzenepropanoic acid, 3-[[[2,4-dichlorobenzoyl]amino]methyl]-4-methoxy- $\alpha$ -(1-methylethoxy)- (9CI) (CA INDEX NAME)

10/088,916



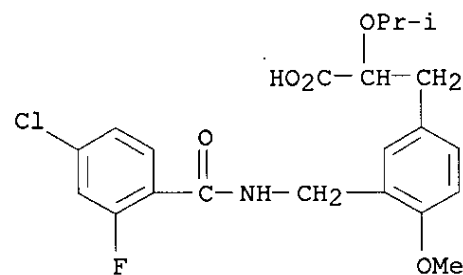
RN 334015-94-0 CAPLUS

CN Benzenepropanoic acid, 3-[[[4-(4-chloro-2-fluorobenzoyl)amino]methyl]-4-methoxy-α-(1-methylethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



RN 334015-96-2 CAPLUS

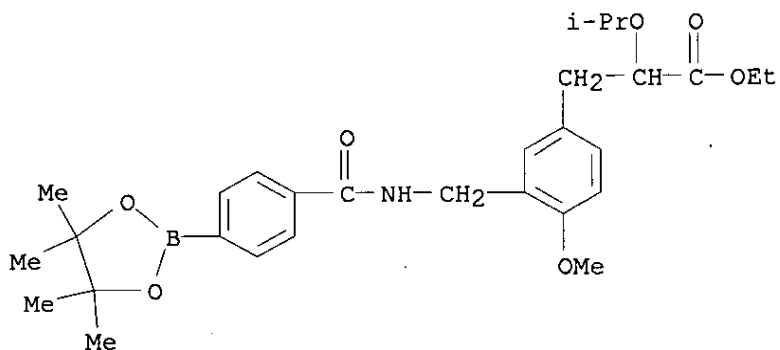
CN Benzenepropanoic acid, 3-[[[4-(4-chloro-2-fluorobenzoyl)amino]methyl]-4-methoxy-α-(1-methylethoxy)- (9CI) (CA INDEX NAME)



RN 334018-48-3 CAPLUS

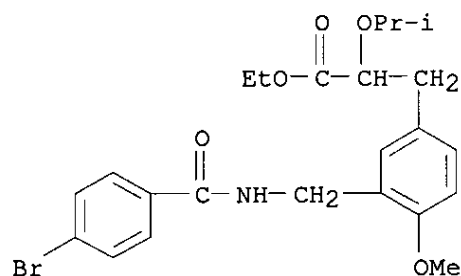
CN Benzenepropanoic acid, 4-methoxy-α-(1-methylethoxy)-3-[[[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzoyl]amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

10/088,916



RN 334019-09-9 CAPLUS

CN Benzenepropanoic acid, 3-[[[(4-bromobenzoyl)amino]methyl]-4-methoxy- $\alpha$ -(1-methylethoxy)-, ethyl ester (9CI) (CA INDEX NAME)



IT 334010-72-9P 334010-77-4P 334010-80-9P  
334010-81-0P 334010-88-7P 334010-89-8P  
334010-91-2P 334010-95-6P 334011-61-9P  
334011-62-0P 334011-63-1P 334011-64-2P  
334011-65-3P 334011-66-4P 334011-67-5P  
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10/088,916

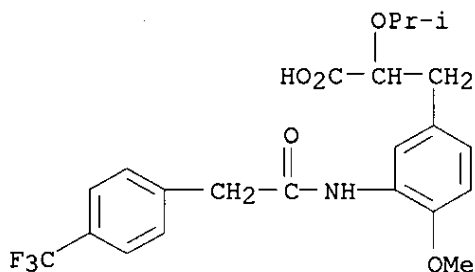
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334013-87-5P 334013-90-0P 334013-92-2P  
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334014-18-5P 334014-20-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and effect of methoxyphenylpropionic acid derivs. useful in insulin resistance improvement as PPAR agonists)

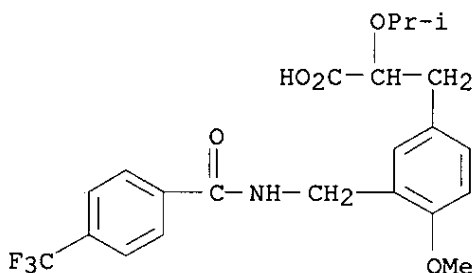
RN 334010-72-9 CAPLUS

CN Benzenepropanoic acid, 4-methoxy- $\alpha$ -(1-methylethoxy)-3-[[[4-(trifluoromethyl)phenyl]acetyl]amino]- (9CI) (CA INDEX NAME)



RN 334010-77-4 CAPLUS

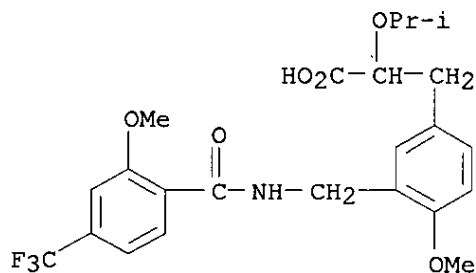
CN Benzenepropanoic acid, 4-methoxy- $\alpha$ -(1-methylethoxy)-3-[[[4-(trifluoromethyl)benzoyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 334010-80-9 CAPLUS

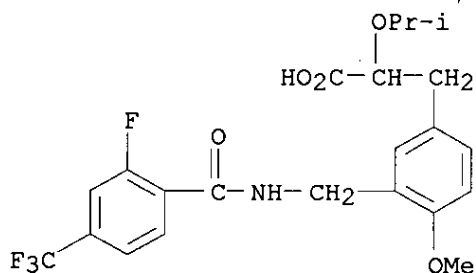
CN Benzenepropanoic acid, 4-methoxy-3-[[[2-methoxy-4-(trifluoromethyl)benzoyl]amino]methyl]- $\alpha$ -(1-methylethoxy)- (9CI) (CA INDEX NAME)

10/088,916



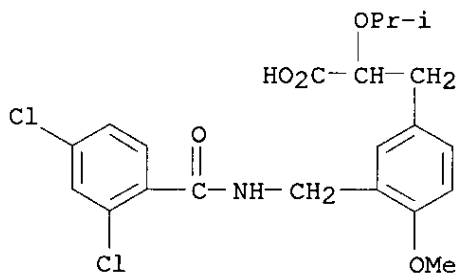
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CN Benzenepropanoic acid, 3-[[[2-fluoro-4-(trifluoromethyl)benzoyl]amino]methyl]-4-methoxy- $\alpha$ -(1-methylethoxy)- (9CI) (CA INDEX NAME)



RN 334010-88-7 CAPLUS

CN Benzenepropanoic acid, 3-[[[2,4-dichlorobenzoyl]amino]methyl]-4-methoxy- $\alpha$ -(1-methylethoxy)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 334010-89-8 CAPLUS

CN Benzenepropanoic acid, 3-[[[4-chloro-2-fluorobenzoyl]amino]methyl]-4-methoxy- $\alpha$ -(1-methylethoxy)-, monosodium salt (9CI) (CA INDEX NAME)